

10/559499

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10/559499

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NEWS IPC8      For general information regarding STN implementation of IPC 8

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FILE 'HOME' ENTERED AT 14:45:11 ON 30 OCT 2008

=> file reg  
COST IN U.S. DOLLARS  
SINCE FILE ENTRY SESSION  
0.21 0.21  
FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 14:45:43 ON 30 OCT 2008  
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STRUCTURE FILE UPDATES: 29 OCT 2008 HIGHEST RN 1068186-59-3  
DICTIONARY FILE UPDATES: 29 OCT 2008 HIGHEST RN 1068186-59-3

New CAS Information Use Policies, enter HELP USAGETERMS for details.

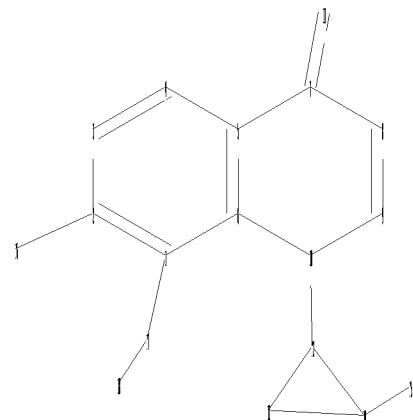
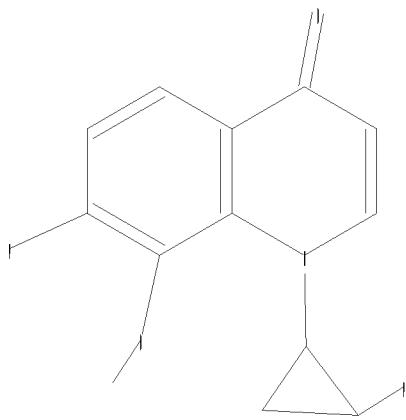
TSCA INFORMATION NOW CURRENT THROUGH July 5, 2008.

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

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Uploading C:\Program Files\Stnexp\Queries\10559499.str

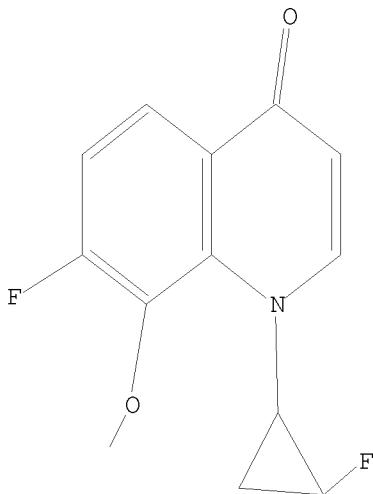


chain nodes :  
 11 15 16 17 18  
 ring nodes :  
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 ring bonds :  
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 exact/norm bonds :  
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 2-16 14-15  
 normalized bonds :  
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Match level :  
 1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom  
 11:CLASS 12:Atom 13:Atom 14:Atom 15:CLASS 16:CLASS 17:CLASS 18:CLASS

L1 STRUCTURE UPLOADED

=> d 11  
 L1 HAS NO ANSWERS  
 L1 STR



Structure attributes must be viewed using STN Express query preparation.

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=> s 11 full
FULL SEARCH INITIATED 14:45:56 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 1695 TO ITERATE

100.0% PROCESSED 1695 ITERATIONS 24 ANSWERS
SEARCH TIME: 00.00.01
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L2 24 SEA SSS FUL L1

	SINCE FILE	TOTAL
	ENTRY	SESSION
COST IN U.S. DOLLARS		
FULL ESTIMATED COST	178.36	178.57

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FILE 'CA' ENTERED AT 14:45:58 ON 30 OCT 2008
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PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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FILE COVERS 1907 - 29 Oct 2008 VOL 149 ISS 18
FILE LAST UPDATED: 29 Oct 2008 (20081029/ED)

CA now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2008.

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 13

L3 NOT FOUND

The L-number entered has not been defined in this session, or it has been deleted. To see the L-numbers currently defined in this session, enter DISPLAY HISTORY at an arrow prompt (=>).

=> s 12

L3 27 L2

=> s 13 and py<2004

22796335 PY<2004

L4 17 L3 AND PY<2004

=> d ibib abs fhitstr 1-17

L4 ANSWER 1 OF 17 CA COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 139:261175 CA

TITLE: Preparation of quinolonecarboxylic acid derivative as antibacterial agent

INVENTOR(S): Shimizu, Sadahiro; Tani, Yuichiro; Akiba, Toshifumi

PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 31 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003076428	A1	20030918	WO 2002-JP2181	20020308 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002236267	A1	20030922	AU 2002-236267	20020308 <--
PRIORITY APPLN. INFO.:			WO 2002-JP2181	A 20020308
AB	(--)-7-[(7S)-Amino-5-azaspido[2.4]heptan-5-yl]-6-fluoro-1-[(1R,2S)-2-fluoro-1-cyclopropyl]-1,4-dihydro-8-methoxy-4-oxo-3-quinolonecarboxylic acid monohydrochloride 2.5-hydrate (I) is claimed. Also claimed is	(--)-7-[(7S)-amino-5-azaspido[2.4]heptan-5-yl]-6-fluoro-1-[(1R,2S)-2-fluoro-		

1-cyclopropyl]-1,4-dihydro-8-methoxy-4-oxo-3-quinolinecarboxylic acid monohydrochloride monohydrate (II). I and II are prepared by crystallization of

(-)-7-[(7S)-amino-5-azaspiro[2.4]heptan-5-yl]-6-fluoro-1-[(1R,2S)-2-fluoro-1-cyclopropyl]-1,4-dihydro-8-methoxy-4-oxo-3-quinolinecarboxylic acid from a solvent containing HCl and water. I and II are antibacterial agents (no data) and show excellent stability to light and humidity.

IT 175776-13-3P

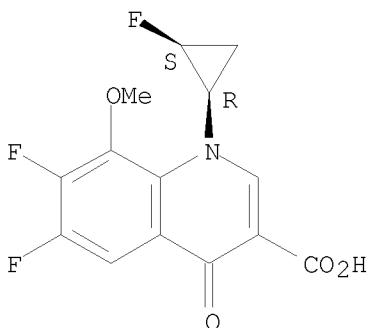
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of quinolonecarboxylic acid derivative as antibacterial agent)

RN 175776-13-3 CA

CN 3-Quinolonecarboxylic acid, 6,7-difluoro-1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-8-methoxy-4-oxo- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 17 CA COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 139:101036 CA

TITLE: Preparation of pyridinylpyrrolidinylquinolonecarboxylates as antibacterials.

INVENTOR(S): Park, Tae-Ho; Lee, Sang-Ho; Han, Cheol

PATENT ASSIGNEE(S): S. Korea

SOURCE: U.S. Pat. Appl. Publ., 12 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20030130302	A1	20030710	US 2002-331457	20021227 <--
US 7012144	B2	20060314		
KR 2003058394	A	20030707	KR 2001-88822	20011231 <--
KR 2003058401	A	20030707	KR 2001-88829	20011231 <--
PRIORITY APPLN. INFO.:			KR 2001-88822	A 20011231
			KR 2001-88829	A 20011231

OTHER SOURCE(S): MARPAT 139:101036

GI



AB Title compds. [I; R1 = alkyl, Ph, C3-6 cycloalkyl optionally substituted with  $\geq 1$  halo; R2 = H, amino, C1-4 alkyl; R3 = H, C1-4 alkyl, amino, aminomethyl, aminoethyl optionally substituted with 1 C1-4 alkyl; W = N, CH, CY; Y = halo, C1-4 alkyl, C1-4 alkoxy optionally substituted with  $\geq 1$  halo; Pyr = 2-, 3- or 4-pyridyl; provided that when W = C, W and R 1 are fused together to form COCH<sub>2</sub>CHMe, CCH<sub>2</sub>CH<sub>2</sub>CHMe, CSCH<sub>2</sub>CHMe], were prepared. Thus, 1-cyclopropyl-6,7-difluoro-8-methoxy-4-oxo-1,4-dihydroquinolin-3-carboxylic acid, 3-aminomethyl-3-(pyridin-2-yl)pyrrolidine trihydrochloride, and diazabicyclo[5.4.0]undec-7-ene were refluxed in MeCN to give 1-cyclopropyl-6-fluoro-8-methoxy-7-[(3-aminomethyl-3-(pyridin-2-yl)pyrrolidin-1-yl)-4-oxo-1,4-dihydroquinolin-3-carboxylic acid. The latter showed a min. inhibitory concentration of 0.013  $\mu$ g/mL against Streptococcus pyogenes A 308.

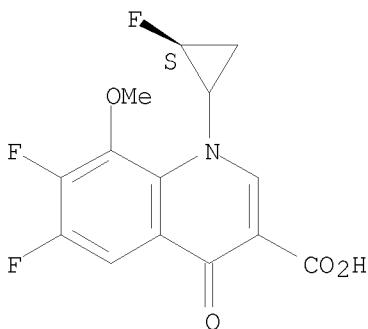
IT 557076-72-9

RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of pyrrolidinylquinolonecarboxylates as antibacterials)

RN 557076-72-9 CA

CN 3-Quinoliniccarboxylic acid, 6,7-difluoro-1-[(2S)-2-fluorocyclopropyl]-1,4-dihydro-8-methoxy-4-oxo- (CA INDEX NAME)

Absolute stereochemistry.

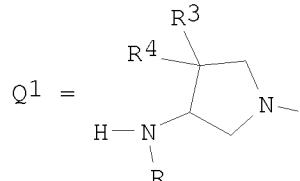
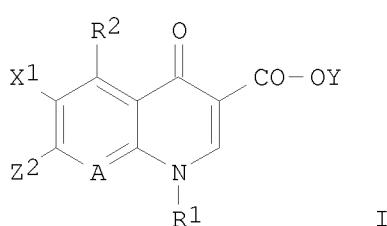


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 17 CA COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 138:287534 CA  
TITLE: Process for preparing quinolonecarboxylic acid derivatives  
INVENTOR(S): Ota, Naoki; Shirone, Toshiaki; Akiba, Toshifumi  
PATENT ASSIGNEE(S): Daiichi Seiyaku Co., Ltd., Japan  
SOURCE: Jpn. Kokai Tokkyo Koho, 15 pp.  
CODEN: JKXXAF  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2003096075	A	20030403	JP 2001-294163	20010926 <--
PRIORITY APPLN. INFO.:			JP 2001-294163	20010926
OTHER SOURCE(S):		MARPAT 138:287534		
GI				



AB The title compds. I [ $X_1 = H$ , halo;  $R_1 = (\text{un})\text{substituted cycloalkyl}$ , etc.;  $R_2 = H$ , amino, etc.;  $A = N$ , etc.;  $Z_2 = Q_1$ , etc;  $R = H$ ;  $R_3, R_4 = H$ , halo, etc.] are prepared by hydrogenation of I [ $X_1, R_1 - R_4, A, Z_2 = \text{as defined above}$ ;  $R = (\text{un})\text{substituted aralkyl}$ , etc.] in an aqueous solution in the presence

of an acid or base (for increasing solubility). I are useful as antibacterial agents (no data). 7-[(7S)-7-Amino-5-azaspiro[2.4]hept-5-yl]-6-fluoro-1-[(1R,2S)-2-fluorocyclopropyl]-8-methoxy-4-oxo-1,4-dihydro-3-quinolinecarboxylic acid was prepared in 92% yield by the title process.

IT 175776-13-3

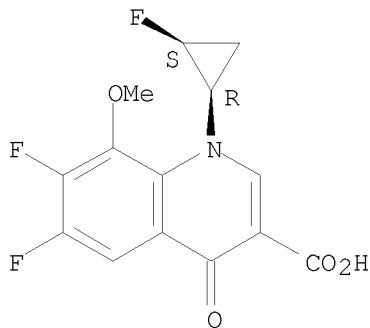
RL: RCT (Reactant); RACT (Reactant or reagent)

(process for preparing aminoazaspiroheptylquinolonecarboxylic acid derivs. by hydrogenation of phenylethyl- or benzyloxycarbonylaminoazaspiroheptylquinolonecarboxylic acid derivs. in presence of acid or base)

RN 175776-13-3 CA

CN 3-Quinolinecarboxylic acid, 6,7-difluoro-1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-8-methoxy-4-oxo- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

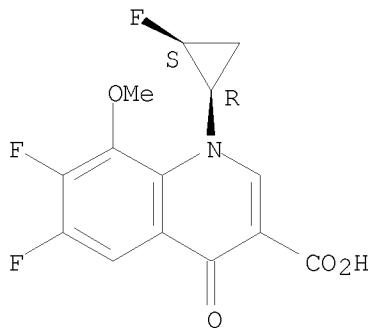


L4 ANSWER 4 OF 17 CA COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 137:216936 CA  
 TITLE: Quinolonecarboxylic acid derivatives for safe  
 bactericides having light and moisture stability  
 INVENTOR(S): Shimizu, Sadahiro; Tani, Yuichiro; Akiba, Toshifumi  
 PATENT ASSIGNEE(S): Daiichi Seiyaku Co., Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 11 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002255962	A	20020911	JP 2001-50382	20010226 <--
PRIORITY APPLN. INFO.:			JP 2001-50382	20010226

AB (-)-7- { (7S)-7-amino-5-azaspiro[2.4]  
 ]heptane-5-yl}-6-fluoro-1-[(1R,2S)-2-fluoro-1-cyclopropyl]-1,4-dihydro-8-  
 methoxy-4-oxo-3-quinolonecarboxylic acid (I) · 1HCl · 2.5H<sub>2</sub>O and  
 I · 1HCl · H<sub>2</sub>O are prepared. Thus, I was prepared, suspended (3.872  
 g, 0.5H<sub>2</sub>O) in 23 mL iso-PrOH, dissolved in 13.4 mL water and 2.1 mL 5N  
 HCl, mixed with 0.39 g activated carbon for 20 min, filtered, and washed  
 with iso-PrOH, and the filtrate was crystallized to give 2.449 g  
 I · 1HCl · 2.5H<sub>2</sub>O.  
 IT 175776-13-3P  
 RL: IMF (Industrial manufacture); RCT (Reactant); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (quinolonecarboxylic acid derivs. for safe and stable bactericides)  
 RN 175776-13-3 CA  
 CN 3-Quinolonecarboxylic acid, 6,7-difluoro-1-[(1R,2S)-2-fluorocyclopropyl]-  
 1,4-dihydro-8-methoxy-4-oxo- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L4 ANSWER 5 OF 17 CA COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 137:93736 CA  
 TITLE: Preparation of quinolonecarboxylic acid derivative as  
 bactericide and its intermediates  
 INVENTOR(S): Shimizu, Sadahiro; Makino, Toru; Kino, Toshiaki;  
 Nagasawa, Hiroshi; Ota, Naoki; Akiba, Toshifumi  
 PATENT ASSIGNEE(S): Daiichi Seiyaku Co., Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 16 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002201191	A	20020716	JP 2001-296115 JP 2000-297171	20010927 <-- A 20000928
PRIORITY APPLN. INFO.:				
OTHER SOURCE(S):	CASREACT 137:93736; MARPAT 137:93736			
GI				

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

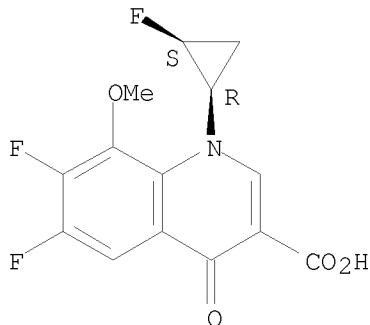
AB Title derivative I ( $R_1 = R_2 = H$ ) is prepared by treatment of  
 6,7-difluoroquinolonecarboxylic acids II ( $R_1 = H$ ,  $BX_2$ ;  $X = F$ , C1-6 alkoxy,  
 C2-7 alkylcarbonyloxy) with 7-(S)-amino-5-azaspiroheptanes III ( $R_2 = H$ ,  
 alkoxycarbonyl, aralkyloxycarbonyl, acyl, aralkyl, etc.; when  $R_1 = BF_2$ ,  
 then  $R_2 \neq H$ , tert-butoxycarbonyl), followed by optional deboronation  
 and/or removal of  $R_2$ . Thus, 7-(S)-amino-5-azaspiro[2.4]heptane 2HCl salt  
 was treated with Et<sub>3</sub>N at 30° for 18 h in N,N-dimethylacetamide,  
 then treated with II ( $R_1 = H$ ) at 60° for 24 h to give 60% I ( $R_1 =$   
 $R_2 = H$ ).

IT 175776-13-3P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation of quinolonecarboxylic acid derivative as bactericide)

RN 175776-13-3 CA  
 CN 3-Quinolonecarboxylic acid, 6,7-difluoro-1-[(1R,2S)-2-fluorocyclopropyl]-

1,4-dihydro-8-methoxy-4-oxo- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

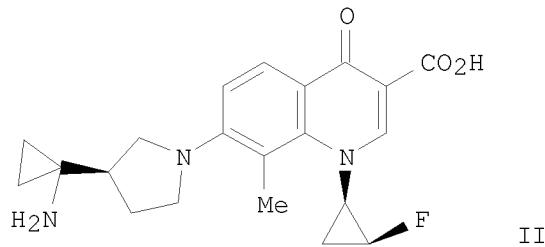
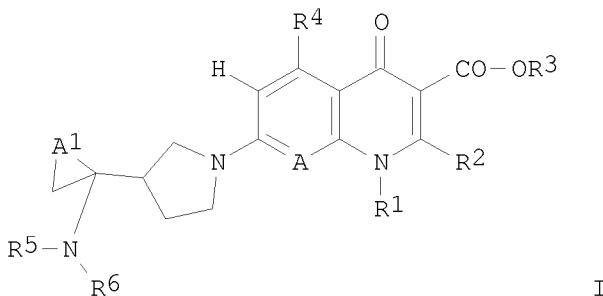


L4 ANSWER 6 OF 17 CA COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 136:401768 CA  
 TITLE: Preparation of dehalogenoquinolinecarboxylic acid derivatives, naphthyridine derivatives, and benzoxazine derivatives as antibacterial agents  
 INVENTOR(S): Takahashi, Hisashi; Miyauchi, Rie; Itoh, Masao; Takemura, Makoto; Hayakawa, Isao  
 PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan  
 SOURCE: PCT Int. Appl., 122 pp.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002040478	A1	20020523	WO 2001-JP10086	20011119 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2429440	A1	20020523	CA 2001-2429440	20011119 <--
AU 2002024050	A	20020527	AU 2002-24050	20011119 <--
EP 1336611	A1	20030820	EP 2001-996540	20011119 <--
EP 1336611	B1	20070905		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001015326	A	20040225	BR 2001-15326	20011119
JP 3711108	B2	20051026	JP 2002-543488	20011119
CN 1269817	C	20060816	CN 2001-822074	20011119
RU 2298006	C2	20070427	RU 2003-114743	20011119
AT 372338	T	20070915	AT 2001-996540	20011119

ES 2292642	T3	20080316	ES 2001-996540	20011119
IN 2003CN00734	A	20050415	IN 2003-CN734	20030514
NO 2003002255	A	20030721	NO 2003-2255	20030519 <--
US 20040063754	A1	20040401	US 2003-432043	20030519
ZA 2003003871	A	20040819	ZA 2003-3871	20030519
MX 2003PA04437	A	20040504	MX 2003-PA4437	20030520
KR 777149	B1	20071119	KR 2003-706835	20030520
HK 1056729	A1	20080206	HK 2003-109128	20031215
JP 2004269544	A	20040930	JP 2004-156517	20040526
JP 2005194274	A	20050721	JP 2004-379455	20041228
JP 3760172	B2	20060329		
US 20070123560	A1	20070531	US 2006-644901	20061226
PRIORITY APPLN. INFO.:				
			JP 2000-352269	A 20001120
			JP 2001-248822	A 20010820
			JP 2002-543488	A3 20011119
			WO 2001-JP10086	W 20011119
			US 2003-432043	A1 20030519

OTHER SOURCE(S): MARPAT 136:401768  
GI



AB The title compds. I [R1 = alkyl, etc.; R2 = alkylthio, H; further detail on R1 and R2 is given; R3 = H, Ph, etc.; R4 = alkyl, etc.; A = N, etc.; R5, R6 = alkyl, etc.; A1 = (CH<sub>2</sub>)<sub>n</sub>; n = 1 or 2] are prepared I exhibit broad and potent activity against gram-neg. and gram-pos. bacteria and against resistant bacteria. The title compound II in vitro showed MIC of 0.025 µg/mL against *P. aeruginosa* 32121. Formulations are given.

IT 181942-36-9

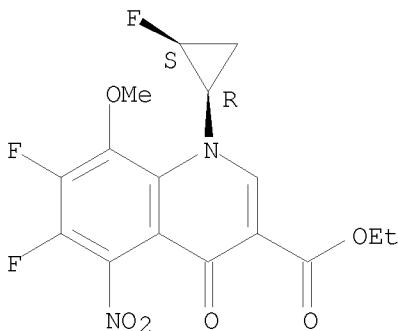
RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of dehalogenoquinolinecarboxylic acid derivs., naphthyridine derivs., and benzoxazine derivs. as antibacterial agents)

RN 181942-36-9 CA

CN 3-Quinolinecarboxylic acid, 6,7-difluoro-1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-8-methoxy-5-nitro-4-oxo-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 17 CA COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 135:288695 CA

TITLE: Preparation of antibacterial fluoroquinolonecarboxylic acid derivative

INVENTOR(S): Takemura, Makoto; Takahashi, Hisashi; Kawakami, Katsuhiro; Itoh, Masao; Suzuki, Tetsuya; Ohtani, Tsuyoshi; Sekiguchi, Masayasu; Miyauchi, Rie; Hayakawa, Isao

PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 43 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001072738	A1	20011004	WO 2001-JP2761	20010330 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2408806	A1	20011004	CA 2001-2408806	20010330 <--
AU 2001044671	A	20011008	AU 2001-44671	20010330 <--
JP 2003073275	A	20030312	JP 2002-9952	20010330 <--
EP 1298131	A1	20030402	EP 2001-917706	20010330 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

BR 2001011248	A	20030708	BR 2001-11248	20010330 <--
NZ 522667	A	20040625	NZ 2001-522667	20010330
AU 2001244671	B2	20050127	AU 2001-244671	20010330
ZA 2002009243	A	20031125	ZA 2002-9243	20021113 <--
NO 2002005542	A	20021126	NO 2002-5542	20021119 <--
MX 2002PA11671	A	20030327	MX 2002-PA11671	20021126 <--
US 20030187008	A1	20031002	US 2003-275972	20030331 <--
US 6900225	B2	20050531		
US 20040142957	A1	20040722	US 2004-753367	20040109
PRIORITY APPLN. INFO.:				
			JP 2000-97690	A 20000331
			JP 2000-271231	A 20000907
			JP 2001-570649	A3 20010330
			WO 2001-JP2761	W 20010330
			US 2003-275972	A3 20030331

AB Claimed is  $(-)$ -7-[(7S)-7-amino-5-azaspiro[2.4]heptan-5-yl]-6-fluoro-1-[(1R,2S)-2-fluoro-1-cyclopropyl]-1,4-dihydro-8-methoxy-4-oxo-3-quinolinecarboxylic acid monohydrochloride monohydrate (I). I was prepared and showed MIC values of  $\leq 0.003 \mu\text{g/mL}$  and  $0.05 \mu\text{g/mL}$  against *E. coli* NIHJ and *P. aeruginosa* 32121, resp. I is highly stable to light and humidity.

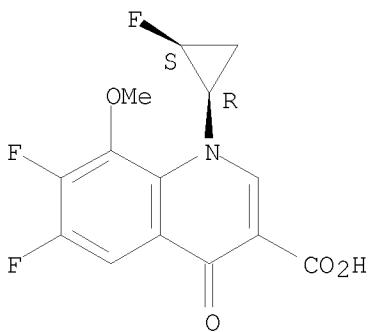
IT 175776-13-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of antibacterial fluoroquinolonecarboxylic acid derivative)

RN 175776-13-3 CA

CN 3-Quinolinecarboxylic acid, 6,7-difluoro-1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-8-methoxy-4-oxo- (CA INDEX NAME)

Absolute stereochemistry. Rotation  $(-)$ .



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 17 CA COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 133:237871 CA

TITLE: Preparation of cis-substituted aminocycloalkylpyrrolidine derivatives of 1,4-dihydro-4-oxoquinoline-3-carboxylic acids as antimicrobial drugs

INVENTOR(S): Takemura, Makoto; Kimura, Youichi; Takahashi, Hisashi; Kimura, Kenichi; Miyauchi, Satoru; Ohki, Hitoshi; Sugita, Kazuyuki; Miyauchi, Rie

PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan

SOURCE: U.S., 67 pp., Cont.-in-part of Appl. No.  
PCT/JP96/03440.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

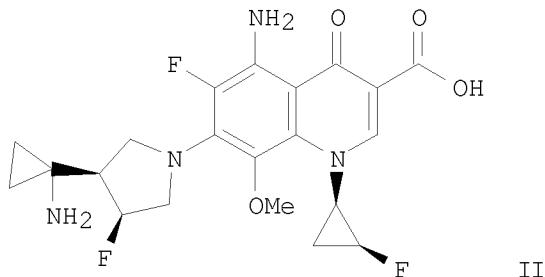
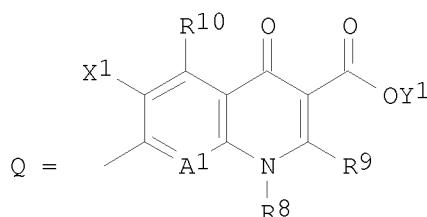
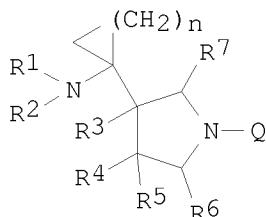
FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6121285	A	20000919	US 1998-82155	19980521 <--
WO 9719072	A1	19970529	WO 1996-JP3440	19961122 <--
W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
ZA 9804273	A	19981125	ZA 1998-4273	19980520 <--
US 6184388	B1	20010206	US 1999-397515	19990917 <--
PRIORITY APPLN. INFO.:			JP 1995-304129	A 19951122
			JP 1996-192637	A 19960723
			WO 1996-JP3440	A2 19961122
			JP 1997-131413	A 19970521
			JP 1997-140643	A 19970529
			US 1998-82155	A1 19980521

OTHER SOURCE(S): MARPAT 133:237871

GI

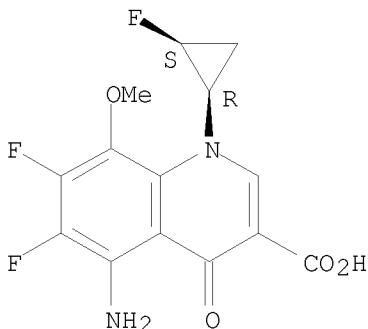


AB The title compds. (I) [wherein R1, R6, and R7 = independently H or alkyl; R2 = H or (un)substituted alkyl; R3 = H, OH, halo, carbamoyl, alkyl, alkoxy, or alkylthio; one of R4 and R5 = H and the other is CH2OH, Me,

OMe, or F; or R4 and R5 together = hydroxyimino, a polymethylene chain of 3-6 C's which form a spirocyclic structure together with the pyrrolidine ring or an alkoxyimino group; n = 1-3; R8 = (halo)alkyl, alkenyl, alkoxy, alkylamino, (un)substituted cycloalkyl or (hetero)aryl, etc.; R9 = H or alkylthio; X1 = H or halo; R10 = H, NH2, OH, SH, halomethyl, alkyl, alkenyl, or alkoxy; A1 = N or (un)substituted C; Y1 = H, Ph, acetoxyethyl, pivaloyloxymethyl, ethoxycarbonyl, etc.] were prepared I have excellent antimicrobial activity and are highly safe. Thus, 1-benzylloxycarbonyl-4-(R)-(1-tert-butoxycarbonylaminocyclopropyl)-3-(S)-fluoropyrrolidine was dissolved in EtOH and hydrogenated using Pd/C. A solution of the residue and DMSO was mixed with TEA and 5-amino-6,7-difluoro-1-[2-(S)-fluoro-1-(R)-cyclopropyl]-1,4-dihydro-8-methoxy-4-oxoquinoline-3-carboxylic acid to give II (43%). II was tested on 13 microbial strains and showed potent inhibition with MIC values ranging from  $\leq$  0.003  $\mu$ g/mL to 0.39  $\mu$ g/mL. In an acute toxicity test on male mice, none of the five mice died upon administration of 150 mg/kg doses of II.

IT 181942-32-5, 5-Amino-6,7-difluoro-1-[2-(S)-fluoro-1-(R)-cyclopropyl]-1,4-dihydro-8-methoxy-4-oxoquinoline-3-carboxylic acid  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of 6-(aminocycloalkylpyrrolidinyl)-1,4-dihydro-4-oxoquinolines as antimicrobial agents by addition of 6-fluoro-1,4-dihydro-4-oxoquinolines to aminocycloalkylpyrrolidines)  
 RN 181942-32-5 CA  
 CN 3-Quinoliniccarboxylic acid, 5-amino-6,7-difluoro-1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-8-methoxy-4-oxo- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

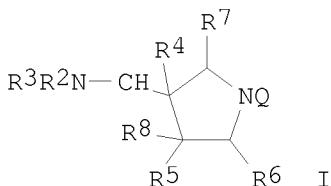
L4 ANSWER 9 OF 17 CA COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 133:222606 CA  
 TITLE: Preparation of 3-(aminomethyl)pyrrolidine derivatives having aromatic substituents as antibacterial agents  
 INVENTOR(S): Takemura, Makoto; Takahashi, Hisashi; Kawakami, Katsuhiro; Takeda, Toshiyuki; Miyauchi, Rie  
 PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan  
 SOURCE: PCT Int. Appl., 140 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000053594	A1	20000914	WO 2000-JP1439	20000309 <--
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1182202	A1	20020227	EP 2000-907973	20000309 <--
EP 1182202	B1	20040602		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
AT 268329	T	20040615	AT 2000-907973	20000309
RU 2255938	C2	20050710	RU 2001-124813	20000309
CN 1258531	C	20060607	CN 2000-807357	20000309
NO 2001004374	A	20011112	NO 2001-4374	20010907 <--
NO 322011	B1	20060807		
US 6762181	B1	20040713	US 2001-936050	20010907
US 20040209940	A1	20041021	US 2004-834079	20040429
US 7186843	B2	20070306		
PRIORITY APPLN. INFO.:			JP 1999-62806	A 19990310
			WO 2000-JP1439	W 20000309
			US 2001-936050	A3 20010907

OTHER SOURCE(S): MARPAT 133:222606  
GI



AB Prepared are quinolone derivs. having potent antibacterial effects on various bacteria including insensible bacilli, which are compds. represented by general formula (I), salts of the same, or hydrates of both [wherein R1 is an optionally substituted C6-10 aryl or heteroaryl; R2 and R3 are each hydrogen or optionally substituted alkyl; R4, R5 and R6 are each hydrogen, hydroxyl, halogeno, carbamoyl, or C1-6 alkyl, alkoxy, or alkylthio; R7 and R8 are each hydrogen or C1-6 alkyl; R9 is C1-6 alkyl, C2-6 alkenyl, C1-6 halogenoalkyl, optionally substituted C3-6 cycloalkyl, aryl, or heteroaryl, or C1-6 alkoxy or alkylamino; R10 is hydrogen or C1-6 alkylthio; R11 is hydrogen, amino, hydroxyl, thiol, halomethyl, C1-6 alkyl, or the like; X1 is halogeno or hydrogen; A1 is nitrogen or C-X2; X2 is hydrogen, amino, halogeno, or the like; A2 and A3 are each >C:C(:A1)-N(R9)- or >N-C(:A1):C(R9)-; R10 and R9 or R9 and X2 may be united to form a ring structure; and Y is hydrogen or an ester-forming

group]. Thus, (R)-3-[1-(tert-butoxycarbonylamino)-1-phenylmethyl]pyrrolidine was added to a suspension of 5-amino-6,7,8-trifluoro-1-[(1S,2S)-2-fluorocyclopropyl]-1,4-dihydro-4-oxoquinoline-3-carboxylic acid in MeCN and refluxed in the presence of Et<sub>3</sub>N for 14 h, followed by treatment of the product with concentrated aqueous HCl to

give 5-amino-7-[(R)-3-(1-amino-1-phenylmethyl)-1-pyrrolidinyl]-6,8-difluoro-1-[(1S,2S)-2-fluorocyclopropyl]-1,4-dihydro-4-oxoquinoline-3-carboxylic acid (II). II showed min. inhibitory concentration of  $\leq 0.003$   $\mu$ g/mL against Escherichia coli NIHJ, Staphylococcus aureus FDA 209P, and Staphylococcus epidermidis 56500.

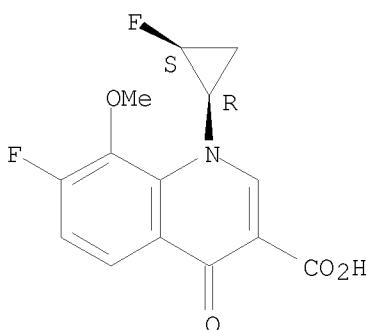
IT 292054-73-0

RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of [(aminomethyl)pyrrolidinyl]dihydrooxoquinolinecarboxylic acid derivs. as antibacterial agents)

RN 292054-73-0 CA

CN 3-Quinolinecarboxylic acid, 7-fluoro-1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-8-methoxy-4-oxo- (CA INDEX NAME)

Absolute stereochemistry.

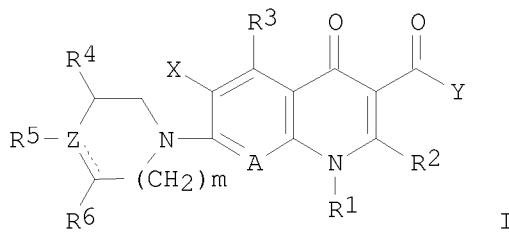


REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 17 CA COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 130:76164 CA  
TITLE: Inhibitors for TNF- $\alpha$  induction  
INVENTOR(S): Baba, Masanori; Ikeuchi, Kiyoshi; Kimura, Yoichi  
PATENT ASSIGNEE(S): Daiichi Seiyaku Co., Ltd., Japan  
SOURCE: Jpn. Kokai Tokkyo Koho, 24 pp.  
CODEN: JKXXAF  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 10316570	A	19981202	JP 1997-122422	19970513 <--
JP 3776203	B2	20060517		
JP 2006131641	A	20060525	JP 2005-377597	20051228
PRIORITY APPLN. INFO.:			JP 1997-122422	A3 19970513
OTHER SOURCE(S):	MARPAT	130:76164		

GI



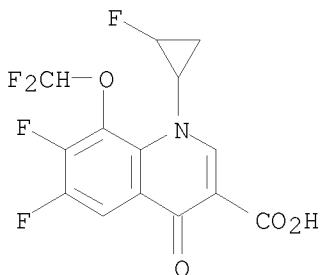
AB The compds. (I; R1 = C1-6 alkyl; R2, R3 = H, etc.; R4, R6 = H, etc.; R5 = halogen, etc.; X = H, etc.; A = N, etc.; m = 2 or 3; Y = OH, etc.; Z = C, etc.) are claimed as inhibitors for TNF- $\alpha$  induction and treatment of related diseases e.g. chronic rheumatoid arthritis, septic shock, ulcerative colitis, AIDS, etc. I inhibited ICAM-1 and p24 protein information and had anti-HIV activity in vitro.

IT 218607-96-6

RL: RCT (Reactant); RACT (Reactant or reagent)  
(inhibitors for TNF- $\alpha$  induction)

RN 218607-96-6 CA

CN 3-Quinolinecarboxylic acid, 8-(difluoromethoxy)-6,7-difluoro-1-(2-fluorocyclopropyl)-1,4-dihydro-4-oxo- (CA INDEX NAME)



L4 ANSWER 11 OF 17 CA COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 130:13992 CA

TITLE: Preparation and formulation of cis-disubstituted aminocycloalkylpyrrolidine moiety-containing quinoline and benzoxazine derivatives as bactericides

INVENTOR(S): Takemura, Makoto; Takahashi, Hisashi; Sugita, Kazuyuki; Ohki, Hitoshi; Miyauchi, Satoru; Miyauchi, Rie

PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 83 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.

KIND

DATE

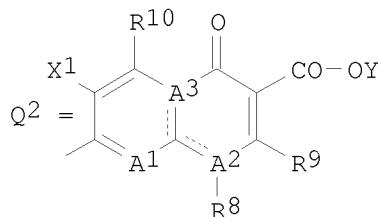
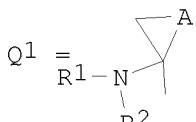
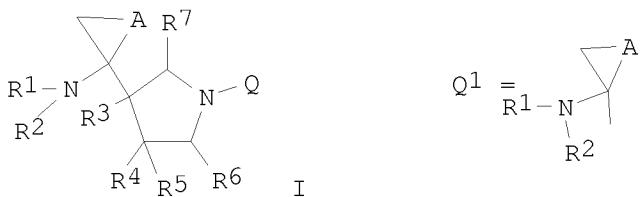
APPLICATION NO.

DATE

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WO 9852939	A1 19981126	WO 1998-JP2219	19980520 <--	
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ZA 9804273	A 19981125	ZA 1998-4273	19980520 <--	
CA 2289605	A1 19981126	CA 1998-2289605	19980520 <--	
AU 9874493	A 19981211	AU 1998-74493	19980520 <--	
EP 1020459	A1 20000719	EP 1998-921738	19980520 <--	
EP 1020459	B1 20050406			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
BR 9810235	A 20010918	BR 1998-10235	19980520 <--	
IN 1998MA01076	A 20050304	IN 1998-MA1076	19980520	
AT 292632	T 20050415	AT 1998-921738	19980520	
NO 9905653	A 20000121	NO 1999-5653	19991118 <--	
MX 9910715	A 20000831	MX 1999-10715	19991119 <--	
US 20020077345	A1 20020620	US 2001-985256	20011102 <--	
PRIORITY APPLN. INFO.:				
		JP 1997-131413	A 19970521	
		JP 1997-140643	A 19970529	
		WO 1998-JP2219	W 19980520	
		US 1999-424112	A1 19991119	

OTHER SOURCE(S): MARPAT 130:13992

GI



AB The title compds. I [R1 represents hydrogen or alkyl; R2 represents hydrogen or alkyl; R3 and R5 represent each hydrogen; R4 represents hydroxy, halogeno, carbamoyl, alkyl, alkoxy or alkylthio; R6 and R7 represent each hydrogen or alkyl; A = (CH<sub>2</sub>)<sub>n</sub>; n is an integer of from 1 to 3; R4 and the substituent on the pyrrolidine ring of general formula Q1 are arranged at the cis-configuration; and Q is a partial structure

represented by Q2; R8 = alkyl, etc.; R9 = H, etc.; further details on R9 and R8 are given; R10 = amino, etc.; X1 = halo, H; A1 = N, etc.; A2, A3 = N, C; further details on A2 and A3 are given; Y = H, etc.] are prepared. Three compds. of this invention in vitro showed MIC values of 0.10 to 0.39  $\mu$ g/mL against P. aeruginosa 32104.

IT 181942-32-5

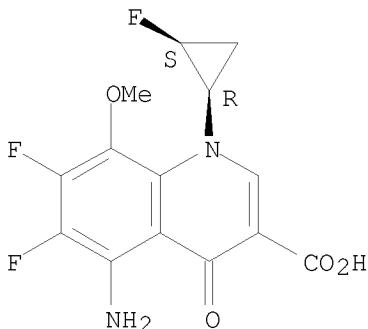
RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of cis-disubstituted aminocycloalkylpyrrolidine moiety-containing

quinoline and benzoxazine derivs. as bactericides)

RN 181942-32-5 CA

CN 3-Quinolinecarboxylic acid, 5-amino-6,7-difluoro-1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-8-methoxy-4-oxo- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 17 CA COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 129:343410 CA

ORIGINAL REFERENCE NO.: 129:69945a, 69948a

TITLE: Preparation of (aminomethyl)pyrrolidines and bactericides containing them

INVENTOR(S): Takemura, Makoto; Takahashi, Hisashi; Kawakami, Katsuhiro; Oki, Hitoshi

PATENT ASSIGNEE(S): Daiichi Seiyaku Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 29 pp.

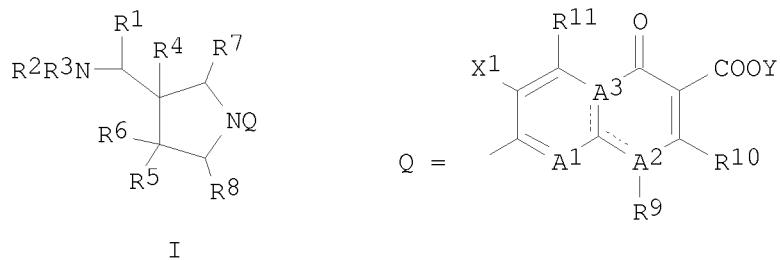
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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JP 10287669	A	19981027	JP 1997-91257	19970410 <--
PRIORITY APPLN. INFO.:			JP 1997-91257	19970410
OTHER SOURCE(S):	MARPAT	129:343410		
GI				



AB The compds. I [R1 = C1-6 alkyl substituted with OH, halo, C1-6 alkylthio, C1-6 alkoxy; R2, R3 = H, OH, C1-6 alkyl which may have  $\geq 1$  of OH, halo, C1-6 alkylthio, C1-6 alkoxy; R4-R6 = H, OH, halo, carbamoyl, C1-6 alkyl, C1-6 alkoxy, C1-6 alkylthio, wherein alkyl may have  $\geq 1$  of OH, halo, C1-6 alkoxy; R9 = C1-6 alkyl, C2-6 alkenyl, C1-6 haloalkyl, (un)substituted C3-6 cycloalkyl, (un)substituted aryl, (un)substituted heteroaryl; C1-6 alkoxy, C1-6 alkylamino; R10 = H, C1-6 alkylthio; R9 and R10 may be bonded to each other forming a (S-containing) ring which may have C1-6 alkyl; R11 = H, OH, SH, halomethyl, C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, C1-6 alkoxy, amino which may have  $\geq 1$  of CHO, C1-6 alkyl, C2-5 acyl; X1 = halo, H; A1 = N, CX2 (X2 = H, halo, cyano, halomethyl, halomethoxy, C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, C1-6 alkoxy, amino which may have  $\geq 1$  of CHO, C1-6 alkyl, C2-5 acyl); X2 and R9 may be bonded to each other forming a (un)substituted (hetero)cycle; A2, A3 = C, N; if A2 = C, then A3= N; if A2 = N, then A3 = C; Y = H, Ph, CH2OAc, pivaloyloxymethyl, CO2Et, choline, dimethylaminoethyl, 5-indanyl, phthalidyl, 5-alkyl-2-oxo-1,3-dioxol-4-ylmethyl, 3-acetoxy-2-oxobutyl, C1-6 alkyl, C2-7 alkoxyethyl, phenyl-C1-6 alkyl], their salts, and their hydrates are prepared. The bactericides, especially effective against quinolone-resistant bacteria, contain I, their salts, or their hydrates as active ingredients. 5-Amino-7-[(3R)-(1-amino-2-fluoroethyl)-1-pyrrolidinyl]-6-fluoro-1-[(2S)-fluoro-(1R)-cyclopropyl]-1,4-dihydro-8-methoxy-4-oxoquinoline-3-carboxylic acid (preparation given) showed antibacterial activity against *Escherichia coli*, *Proteus mirabilis*, *Staphylococcus aureus*, *Pseudomonas aeruginosa*, *Enterococcus faecalis*, etc.

IT 181942-32-5

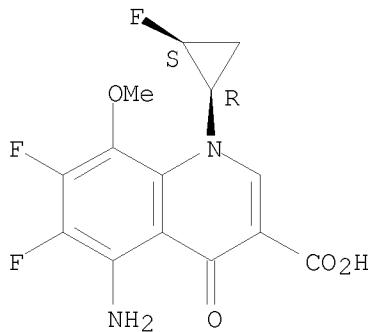
RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of (aminomethyl)-N-heterocyclpyrrolidines as broad-spectrum bactericides)

RN 181942-32-5 CA

CN 3-Quinolinecarboxylic acid, 5-amino-6,7-difluoro-1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-8-methoxy-4-oxo- (CA INDEX NAME)

Absolute stereochemistry.

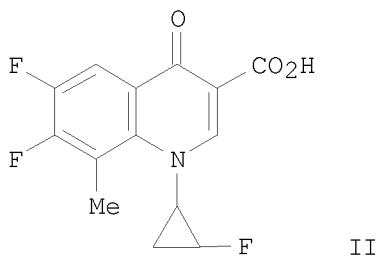
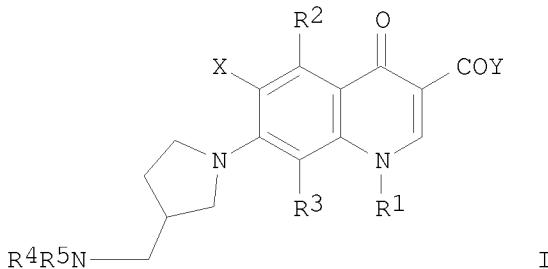


L4 ANSWER 13 OF 17 CA COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 127:318876 CA  
 ORIGINAL REFERENCE NO.: 127:62493a,62496a  
 TITLE: Preparation of cycloalkylaminomethylpyrrolidine derivatives as antibacterials  
 INVENTOR(S): Takemura, Makoto; Kimura, Youichi; Kawakami, Katsuhiro; Ohki, Hitoshi  
 PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan  
 SOURCE: PCT Int. Appl., 27 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9740037	A1	19971030	WO 1997-JP1446	19970424 <--
W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
TW 425394	B	20010311	TW 1997-86105396	19970423 <--
CA 2251927	A1	19971030	CA 1997-2251927	19970424 <--
CA 2251927	C	20050215		
AU 9724072	A	19971112	AU 1997-24072	19970424 <--
AU 726378	B2	20001102		
EP 900793	A1	19990310	EP 1997-919690	19970424 <--
EP 900793	B1	20020724		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
CN 1222151	A	19990707	CN 1997-195563	19970424 <--
CN 1116295	C	20030730		
BR 9708824	A	19990803	BR 1997-8824	19970424 <--
AT 221063	T	20020815	AT 1997-919690	19970424 <--
PT 900793	T	20021129	PT 1997-919690	19970424 <--
ES 2180975	T3	20030216	ES 1997-919690	19970424 <--
JP 4127322	B2	20080730	JP 1997-537935	19970424
KR 2000010578	A	20000215	KR 1998-708448	19981022 <--

US 6194434	B1	20010227	US 1998-171637	19981022 <--
HK 1018786	A1	20030124	HK 1999-103894	19990908 <--
PRIORITY APPLN. INFO.:			JP 1996-102334	A 19960424
			WO 1997-JP1446	W 19970424

OTHER SOURCE(S): MARPAT 127:318876  
GI



AB The title compds. [I; R1 = (un)substituted cycloalkyl; R2 = H, amino, OH, HS, halomethyl, alkyl, alkenyl, alkynyl or alkoxy; R3 = amino, halomethyl, halomethoxy, alkyl, alkenyl, alkynyl or alkoxy; R4 = H, C1-6 alkyl; R5 = C3-6 cycloalkyl; X = H, halo; Y = H, Ph, acetoxymethyl, pivaloyloxymethyl, ethoxycarbonyl, choline, dimethylaminoethyl, 5-indanyl, etc.] are prepared I are useful as antibacterials. Thus, compound (II).BF<sub>2</sub> chelate was reacted with (3R)-3-(N-tert-butoxycarbonyl-N-cyclopropylaminomethyl)pyrrolidine in the presence of Et<sub>3</sub>N and then treated with aqueous citric acid to give 22% I (R1 = 2-fluorocyclopropyl, R2 = R4 = Y = H, R3 = Me, R5 = cyclopropyl X = F), which showed MIC of  $\leq 0.003 \mu\text{g/mL}$  against coli NIHJ.

IT 181942-32-5

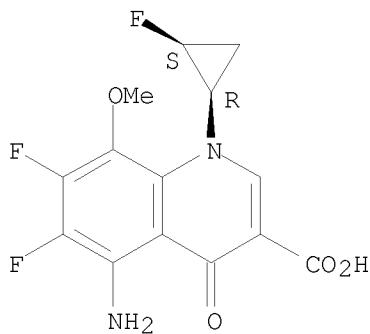
RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of cycloalkylaminomethylpyrrolidine derivs. as antibacterials)

RN 181942-32-5 CA

CN 3-Quinolinecarboxylic acid, 5-amino-6,7-difluoro-1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-8-methoxy-4-oxo- (CA INDEX NAME)

Absolute stereochemistry.

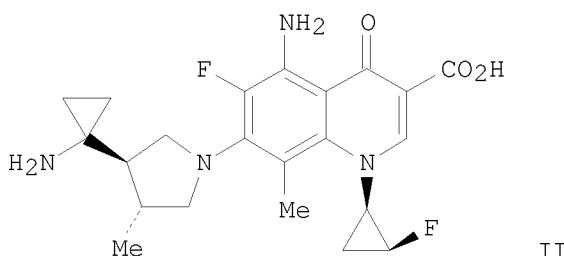
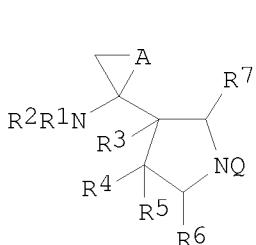


L4 ANSWER 14 OF 17 CA COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 127:50550 CA  
 ORIGINAL REFERENCE NO.: 127:9645a,9648a  
 TITLE: Preparation and formulation of substituted aminocycloalkylpyrrolidinylquinolines as medical bactericides  
 INVENTOR(S): Takemura, Makoto; Kimura, Youichi; Takahashi, Hisashi; Kimura, Kenichi; Miyauchi, Satoru; Ohki, Hitoshi  
 PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan  
 SOURCE: PCT Int. Appl., 104 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 3  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9719072	A1	19970529	WO 1996-JP3440	19961122 <--
W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2238765	A1	19970529	CA 1996-2238765	19961122 <--
AU 9675898	A	19970611	AU 1996-75898	19961122 <--
AU 707889	B2	19990722		
CN 1207738	A	19990210	CN 1996-199713	19961122 <--
CN 1119343	C	20030827		
EP 911328	A1	19990428	EP 1996-938533	19961122 <--
EP 911328	B1	20060208		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
NZ 322202	A	20000526	NZ 1996-322202	19961122 <--
TW 402601	B	20000821	TW 1996-85114493	19961122 <--
AT 317393	T	20060215	AT 1996-938533	19961122
PT 911328	T	20060531	PT 1996-938533	19961122
ES 2258780	T3	20060901	ES 1996-938533	19961122
JP 4040091	B2	20080130	JP 1997-519602	19961122
NO 9802297	A	19980722	NO 1998-2297	19980520 <--

US 6121285	A	20000919	US 1998-82155	19980521 <--
US 6184388	B1	20010206	US 1999-397515	19990917 <--
PRIORITY APPLN. INFO.:			JP 1995-304129	A 19951122
			JP 1996-192637	A 19960723
			WO 1996-JP3440	W 19961122
			JP 1997-131413	A 19970521
			JP 1997-140643	A 19970529
			US 1998-82155	A1 19980521

OTHER SOURCE(S): MARPAT 127:50550  
GI



AB The title compds. I [R1 = H, alkyl; R2 = H, (un)substituted alkyl; R3 = H, halo, etc.; R4, R5 = H, OH, etc.; further details on R4, R5 are given; R6, R7 = H, alkyl; A = (CH<sub>2</sub>)<sub>n</sub>; n = 1 - 3; Q = quinoline moiety or analog (generic structures given)] are prepared. The title compound II (preparation given)

in vitro showed MIC of 0.1 µg/mL against *Pseudomonas aeruginosa* 32121.

IT 181942-32-5

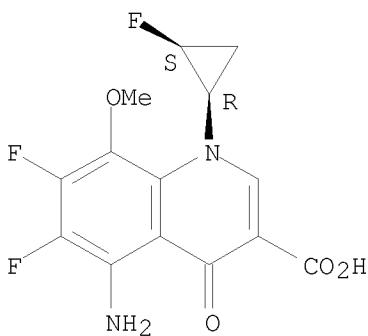
RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of substituted aminocycloalkylpyrrolidinylquinolines as medical bactericides)

RN 181942-32-5 CA

CN 3-Quinolinecarboxylic acid, 5-amino-6,7-difluoro-1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-8-methoxy-4-oxo- (CA INDEX NAME)

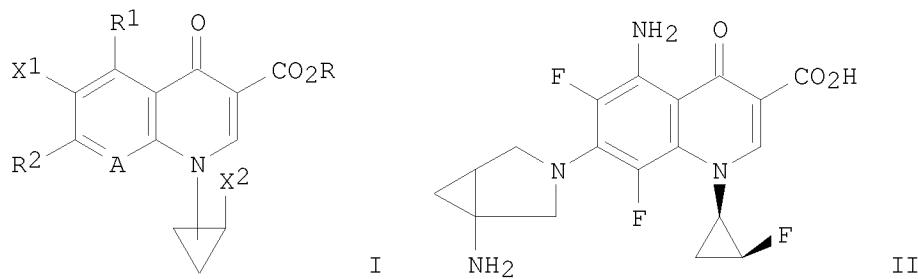
Absolute stereochemistry.



ORIGINAL REFERENCE NO.: 125:46285a, 46288a  
 TITLE: Preparation and formulation of heterocyclic compounds as medical bactericides  
 INVENTOR(S): Takemura, Makoto; Kimura, Youichi; Kawakami, Katsuhiro; Kimura, Kenichi; Ohki, Hitoshi; Matsuhashi, Norikazu; Kawato, Haruko  
 PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan  
 SOURCE: PCT Int. Appl., 124 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9623782	A1	19960808	WO 1996-JP208	19960201 <--
W: CA, CN, FI, KR, NO, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2212007	A1	19960808	CA 1996-2212007	19960201 <--
CA 2212007	C	20040914		
JP 08277284	A	19961022	JP 1996-16260	19960201 <--
JP 3745433	B2	20060215		
EP 807630	A1	19971119	EP 1996-901518	19960201 <--
EP 807630	B1	20030507		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
TW 487701	B	20020521	TW 1996-85101378	19960201 <--
EP 1304329	A2	20030423	EP 2003-883	19960201 <--
EP 1304329	A3	20040915		
EP 1304329	B1	20081015		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
AT 239720	T	20030515	AT 1996-901518	19960201 <--
PT 807630	T	20030829	PT 1996-901518	19960201 <--
ES 2198474	T3	20040201	ES 1996-901518	19960201
NO 9703530	A	19971002	NO 1997-3530	19970731 <--
NO 314546	B1	20030407		
FI 9703207	A	19971001	FI 1997-3207	19970801 <--
US 5849757	A	19981215	US 1997-875678	19970804 <--
PRIORITY APPLN. INFO.:			JP 1995-15614	A 19950202
			JP 1995-19478	A 19950207
			JP 1995-19481	A 19950207
			EP 1996-901518	A3 19960201
			WO 1996-JP208	W 19960201

OTHER SOURCE(S): MARPAT 125:247632  
 GI



AB The title compds. I [X1 represents halo or hydrogen; X2 represents halo; R1 represents hydrogen, hydroxy, thiol, halomethyl, amino, alkyl or alkoxy; R2 represents a pyrrolidine moiety (generic structure given); A represents nitrogen, etc.; and R represents hydrogen, Ph, acetoxyethyl, pivaloyloxymethyl, ethoxycarbonyl, choline, dimethylaminoethyl, 5-indanyl, etc.] are prepared. The title compound II (preparation given) in vitro showed

MIC values of  $\leq 0.003 \mu\text{g/mL}$  and  $0.05 \mu\text{g/mL}$  against *E. coli* NIHJ and *P. aeruginosa* 32104, resp.

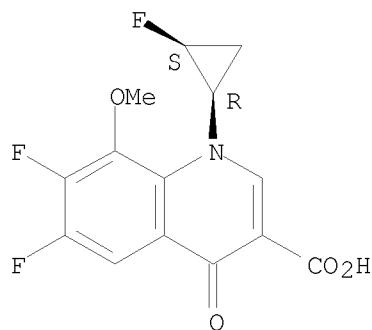
IT 175776-13-3

RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of heterocyclic compds. as medical bactericides)

RN 175776-13-3 CA

CN 3-Quinolinecarboxylic acid, 6,7-difluoro-1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-8-methoxy-4-oxo- (CA INDEX NAME)

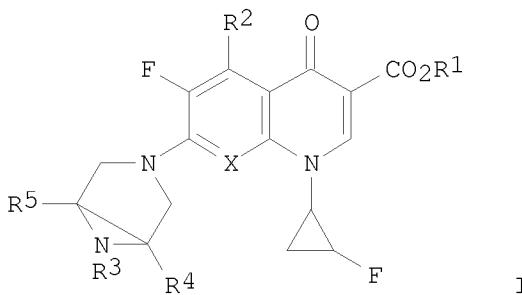
Absolute stereochemistry. Rotation (-).



L4 ANSWER 16 OF 17 CA COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 124:317208 CA  
 ORIGINAL REFERENCE NO.: 124:58833a, 58836a  
 TITLE: Preparation of diazabicyclo[3.1.0]hexane-substituted quinolinone-derivative broad-spectrum antibiotics  
 INVENTOR(S): Moon, Soon Ku; Lee, Gwan Sun; Ryoo, Eui Sang; Moon, Yound Ho; Kim, Nam Du  
 PATENT ASSIGNEE(S): Hanmi Pharmaceutical Co., Ltd., S. Korea  
 SOURCE: PCT Int. Appl., 82 pp.  
 CODEN: PIXXD2

DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9601262	A1	19960118	WO 1995-KR84	19950630 <--
W: CA, JP, US			RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE	
PRIORITY APPLN. INFO.:			KR 1994-15840	A 19940702
OTHER SOURCE(S):	MARPAT 124:317208			
GI				



AB The title compds. [I; R1 = hydrogen, ester-forming group; R2 = hydrogen, (un)substituted amino, hydroxy, lower alkoxy, mercapto, lower alkylthio, halogen; R3-R5 = H, alkyl; X = N, CR6; R6 = hydrogen, halogen, hydroxy, Me, CN, NO2, MeO], which demonstrate antibacterial activity against both gram-pos. or neg. antibacteria and activity against methicillin- and ofloxacin-resistant strains, are prepared and I-containing formulations presented. Thus, 6,7,8-trifluoro-1-[(1R,2S)-2-fluoro-1-cyclopropyl]-4-oxo-1,4-dihydroquinoline-3-carboxylic acid was reacted with 6-methyl-3,6-diazabicyclo[3.1.0]hexane, forming 6,8-difluoro-1-[(1R,2S)-2-fluoro-1-cyclopropyl]-7-(6-methyl-3,6-diazabicyclo[3.1.0]hexan-3-yl)-4-oxo-1,4-dihydroquinoline-3-carboxylic acid, m.p. 200-203° (decomposition), which demonstrated a MIC against *E. coli* DC 2 of 0.049 µg/mL, vs. 0.098 for ciprofloxacin.

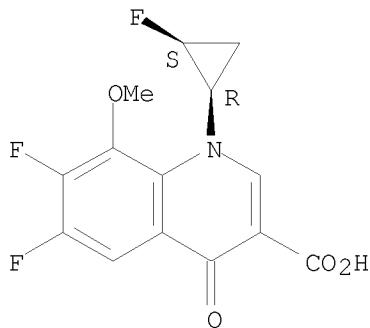
IT 175776-13-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of diazabicyclo[3.1.0]hexane-substituted quinolinone-derivative broad-spectrum antibiotics)

RN 175776-13-3 CA

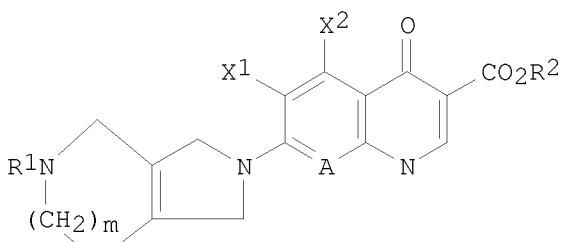
CN 3-Quinolinecarboxylic acid, 6,7-difluoro-1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-8-methoxy-4-oxo- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

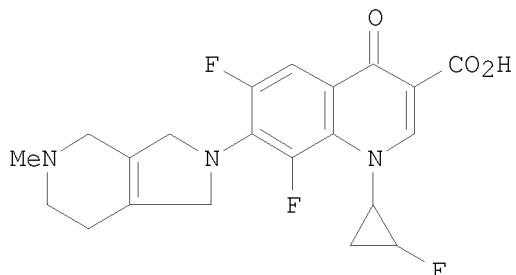


L4 ANSWER 17 OF 17 CA COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 123:169594 CA  
 ORIGINAL REFERENCE NO.: 123:30279a,30282a  
 TITLE: Preparation of 1-(2-fluorocyclopropyl)quinolone- and naphthyridonecarboxylic acid antibiotics  
 INVENTOR(S): Petersen, Uwe; Schenke, Thomas; Boehm, Stefan; Grosser, Rolf; Bremm, Klaus Dieter; Endermann, Rainer; Metzger, Karl-Georg  
 PATENT ASSIGNEE(S): Bayer A.-G., Germany  
 SOURCE: Eur. Pat. Appl., 22 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 653425	A1	19950517	EP 1994-117335	19941103 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
DE 4339134	A1	19950518	DE 1993-4339134	19931116 <--
AU 9477730	A	19950525	AU 1994-77730	19941109 <--
AU 681703	B2	19970904		
US 5545642	A	19960813	US 1994-336638	19941109 <--
CA 2135548	A1	19950517	CA 1994-2135548	19941110 <--
JP 07188230	A	19950725	JP 1994-301672	19941111 <--
FI 9405360	A	19950517	FI 1994-5360	19941114 <--
NO 9404365	A	19950518	NO 1994-4365	19941115 <--
ZA 9409052	A	19950719	ZA 1994-9052	19941115 <--
LV 11328	B	19961220	LV 1994-217	19941115 <--
CN 1106412	A	19950809	CN 1994-118475	19941116 <--
HU 70175	A2	19950928	HU 1994-3288	19941116 <--
AU 9725599	A	19970904	AU 1997-25599	19970618 <--
PRIORITY APPLN. INFO.:			DE 1993-4339134	A 19931116
OTHER SOURCE(S):	MARPAT	123:169594		
GI				



I



II

AB The title compds. [I; A = N, CH, CF, CCl, CBr, CCF3, COMe, COCHF2, CMe, CC.tplbond.CH; R1 = H, (un)substituted alkyl, alkoxy carbonyl, halogen-(un)substituted acetyl or (5-methyl-2-oxo-1,3-dioxol-4-yl)methyl; R2 = H, (un)substituted alkyl, (un)substituted acetoxy methyl or pivaloyloxy methyl; X1 = halogen or nitro; X2 = H, halogen, amino, Me; n = 0, 1] [e.g., II; m.p. 224-226° (decomposition); MIC against *S. aureus* (ICB 25701) 0.5 µg/mL], useful as antibiotics for the treatment of infections, are prepared

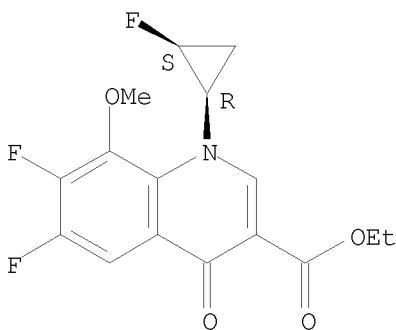
IT 166973-69-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of 1-(2-fluorocyclopropyl)quinolone- and naphthyridonecarboxylic acid antibiotics)

RN 166973-69-9 CA

CN 3-Quinolinecarboxylic acid, 6,7-difluoro-1-(2-fluorocyclopropyl)-1,4-dihydro-8-methoxy-4-oxo-, ethyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



10/559499

=>

---Logging off of STN---

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Executing the logoff script...

=> LOG Y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	90.65	269.22
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-12.75	-12.75

STN INTERNATIONAL LOGOFF AT 14:47:20 ON 30 OCT 2008